

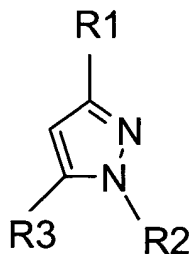
In the claims

The following amendments are made with respect to the claims in the International application PCT/EP2003/007066.

This listing of claims will replace all prior versions and listings of claims in this application.

1 (Currently amended). A compound according to formula (1), or pharmaceutical acceptable salts or solvates thereof,

formula (1)



wherein:

R₁ is phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

R₂ is H, C₁ to C₈ alkyl, C₁ to C₇ acyl, [[or]] C₁ to C₇ substituted acyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, [[or]] C₇ to C₁₂ substituted phenylalkyl, C₃ to C₈ cycloalkyl, C₃ to C₈ substituted cycloalkyl,[[,]] C₅ to C₆ heteroaryl, or [C₅ to C₆]-heteroaryl-(C₁ to C₆)-alkyl, and

R₃ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, ~~or~~ ~~or~~ C₇ to C₁₂ substituted phenylalkyl, halogen, C₁ to C₈ alkoxy, furanyl, substituted furanyl, thiazyl, substituted thiazyl, carboxy, ester, amide or C₁ to C₈ aminoacyl.

2 (Currently amended). The compound according to claim 1, or pharmaceutical acceptable salts or solvates thereof, wherein:

R₁ is phenyl, or substituted phenyl, C₅ to C₆ heteroaryl, or C₅ to C₆ substituted heteroaryl,

R₂ is H, CH₃, substituted alkyl or substituted phenyl, and

R₃ is substituted phenyl, C₅ to C₆ heteroaryl or C₅ to C₆ substituted heteroaryl.

3 (Currently amended). The compound according to claim 1, or pharmaceutical acceptable salts or solvates thereof, wherein:

R₁ is substituted phenyl,

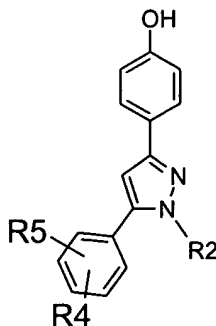
R₂ is CH₃ or substituted alkyl, and

R₃ is substituted phenyl or substituted C₅ heteroaryl.

4 (Currently amended). The compound according to claim 1, having the following formula

(2)

formula (2)



wherein:

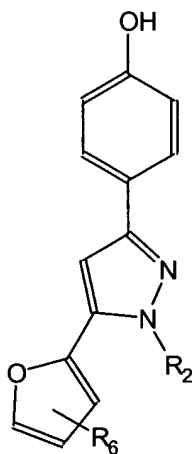
R₂ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl or C₇ to C₁₂ substituted phenylalkyl,

R₄ is H, C₁ to C₈ alkyl, halogen, C₁ to C₈ alkoxy, carboxy, ester, amide or C₁ to C₈ aminoacyl, and

R₅ is H, C₁ to C₈ alkyl, halogen, C₁ to C₈ alkoxy, carboxy, ester, amide or C₁ to C₈ aminoacyl.

5 (Currently amended). [[A]] The compound according to ~~any of claim~~[[s]] 1 to 4 having the following formula (3)

formula (3)



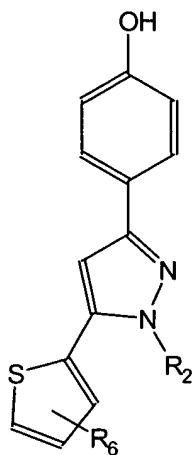
wherein:

R₂ is H, C₁ to C₇ acyl, [[or]] C₁ to C₇ substituted acyl, phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

R₆ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, [[or]] C₇ to C₁₂ substituted phenylalkyl, carboxy, ester, amide [[or]] C₁ to C₈ aminoacyl, or C₁ to C₈ alkoxy.

6 (Currently amended). ~~[[A]] The compound according to any of claim[[s]] 1 to 4~~ having the following formula (4)

formula (4)



wherein:

R₂ is H, C₁ to C₇ acyl, ~~[[or]]~~ C₁ to C₇ substituted acyl, phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

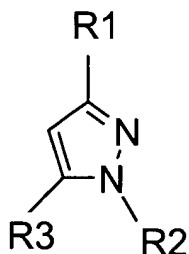
R₆ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, ~~[[or]]~~ C₇ to C₁₂ substituted phenylalkyl, carboxy, ester, amide, ~~[[or]]~~ C₁ to C₈ aminoacyl, or C₁ to C₈ alkoxy.

7 (Currently amended). ~~[[A]] The compound according to any of claim[[s]] 1 to 6~~ wherein said compound is capable of binding the NR3B1 receptor protein or a portion thereof according to SEQ ID NO. 3 or a mammalian homologue thereof.

8 (Currently amended). ~~[[A]]~~ The compound according to any of claim[[s]] 1 to 6 wherein said compound is capable of modulating the activity of the NR3B1 receptor protein comprising antagonistic or agonistic effects.

9 (Currently amended). A method for prevention or treatment of a NR3B1 receptor protein or NR3B1 receptor protein homologue mediated disease or condition in a mammal comprising administration of a therapeutically effective amount of a compound according to formula (1), or pharmaceutical acceptable salts or solvates thereof,

formula (1)



wherein:

R₁ is phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

R₂ is H, C₁ to C₈ alkyl, C₁ to C₇ acyl, [[or]] C₁ to C₇ substituted acyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, [[or]] C₇ to C₁₂ substituted phenylalkyl, C₃ to C₈ cycloalkyl, C₃ to C₈ substituted cycloalkyl,[[,]] C₅ to C₆ heteroaryl, or [C₅ to C₆]-heteroaryl-(C₁ to C₆)-alkyl, and

R₃ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, ~~or or~~ C₇ to C₁₂ substituted phenylalkyl, halogen, C₁ to C₈ alkoxy, furanyl, substituted furanyl, thiazyl,

substituted thiazyl, carboxy, ester, amide or C₁ to C₈ aminoacyl a compound according to any of claims 1 to 6

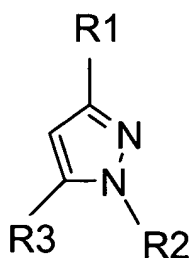
wherein the prevention or treatment is directly or indirectly accomplished through the binding of [[the]] said compound ~~according to any of claims 1 to 8~~ to the NR3B1 receptor protein or to the NR3B1 receptor protein homologue.

10 (Currently amended). [[A]] The method for prevention or treatment of a NR3B1 receptor protein mediated disease or condition according to claim 9 wherein the mammal is a human.

11 (Currently amended). A method for

- i. regulating physiologies that are influenced by estrogenic response pathways in a mammal comprising modulating the activity of the NR3B1 receptor; with a therapeutically effective amount of a compound according to any of claims 1 to 8.
 - ii. treating in a mammal a disease which is directly or indirectly affected by estrogen levels;
 - iii. treating cancer, osteoporosis, obesity, lipid disorders or a cardiovascular disorder or influencing fertility and reproductive health in a mammal;
- and/or
- iv. modulating the expression of a gene directly or indirectly controlled by NR3B1 in tissues of a mammal;

wherein said method comprises administering to a mammal in need of such regulation, treatment and/or modulation an effective amount of a compound according to formula (1), or pharmaceutical acceptable salts or solvates thereof,

formula (1)

wherein:

R₁ is phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

R₂ is H, C₁ to C₈ alkyl, C₁ to C₇ acyl, [[or]] C₁ to C₇ substituted acyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, [[or]] C₇ to C₁₂ substituted phenylalkyl, C₃ to C₈ cycloalkyl, C₃ to C₈ substituted cycloalkyl,[[,]] C₅ to C₆ heteroaryl, or [C₅ to C₆]-heteroaryl-(C₁ to C₆)-alkyl, and

R₃ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, ~~or~~ ~~or~~ C₇ to C₁₂ substituted phenylalkyl, halogen, C₁ to C₈ alkoxy, furanyl, substituted furanyl, thiazyl, substituted thiazyl, carboxy, ester, amide or C₁ to C₈ aminoacyl.

12 – 14 (Cancelled).

15 (Currently amended). The method of claim 11 ~~13 or 14~~, wherein said mammal is a human.

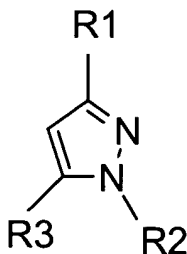
16 (Currently amended). The Use of a method according to claim 15 for treating cancer, osteoporosis, lipid disorders or a cardiovascular disorder in humans or influencing fertility and reproductive health.

17 (Currently amended). [[A]] The method according to claim [14] 11 wherein the expression of genes comprising aromatase, MCAD, thyroid receptor alpha, osteopontin, PS2, lactoferrin is modulated.

18-26 (Cancelled).

27 (New claim). A pharmaceutical composition comprising a compound according to formula (1), or pharmaceutical acceptable salts or solvates thereof,

formula (1)



wherein:

R₁ is phenyl, substituted phenyl, C₅ to C₆ heteroaryl, C₅ to C₆ substituted heteroaryl, naphthyl or substituted naphthyl,

R₂ is H, C₁ to C₈ alkyl, C₁ to C₇ acyl, [[or]] C₁ to C₇ substituted acyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, [[or]] C₇ to C₁₂ substituted phenylalkyl, C₃ to C₈ cycloalkyl, C₃ to C₈ substituted cycloalkyl,[[,]] C₅ to C₆ heteroaryl, or [C₅ to C₆]-heteroaryl-(C₁ to C₆)-alkyl, and

R₃ is H, C₁ to C₈ alkyl, C₁ to C₈ substituted alkyl, C₇ to C₁₂ alkylphenyl, ~~or~~ ~~or~~ C₇ to C₁₂ substituted phenylalkyl, halogen, C₁ to C₈ alkoxy, furanyl, substituted furanyl, thiazyl, substituted thiazyl, carboxy, ester, amide or C₁ to C₈ aminoacyl;
together with a pharmaceutical carrier.